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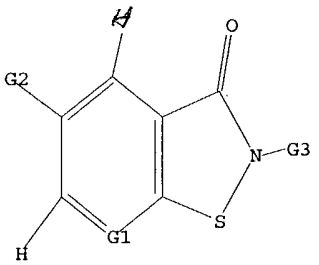
(FILE 'HOME' ENTERED AT 12:07:35 ON 08 MAY 2004)

FILE 'REGISTRY' ENTERED AT 12:07:47 ON 08 MAY 2004
L1 STRUCTURE UPLOADED
L2 50 S L1
L3 1179 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 12:08:44 ON 08 MAY 2004
L4 6940 S L3
L5 4 S L4 AND UREASE
L6 6936 S L4 NOT L5
L7 0 S L6 AND HELICOBACTER
L8 0 S L6 AND PYLORI
L9 19 S L6 AND ULCER

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 C,N

G2 H,NH2

G3 H,Me,Et,n-Pr,n-Bu,C(O)CH3

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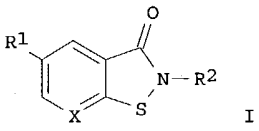
=> d 1-4 bib abs hitstr

L5 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:668191 CAPLUS
DN 135:221263
TI **Urease** inhibitors and Helicobacter pylori inhibitors containing isothiazoles
IN Kajiwara, Masahiro
PA Ohtsuka Pharmaceutical Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 10 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 2001247462	A2	20010911	JP 2000-62012	20000307
WO 2001066112	A1	20010913	WO 2001-JP1618	20010302
W: AU, BR, CA, CN, ID, IN, KR, MX, SG, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
AU 2001036052	A5	20010917	AU 2001-36052	20010302
EP 1262181	A1	20021204	EP 2001-908245	20010302
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
US 2003060482	A1	20030327	US 2002-220803	20020905
US 2004058952	A1	20040325	US 2003-669700	20030925
PRAI JP 2000-62012	A	20000307		
WO 2001-JP1618	W	20010302		
US 2002-220803	A3	20020905		

OS MARPAT 135:221263
GI

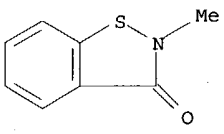


I

AB The inhibitors, useful for treatment of chronic gastritis and gastrointestinal ulcer, contain isothiazoles I (R1 = H, NH2; R2 = H, lower alkyl, Ac; X = CH, N). Thiosalicylic acid was treated with diphenylphosphoryl azide and NEt3 in pyridine to give 81% I (R1 = R2 = H, X = CH), which in vitro inhibited **urease** of H. pylori with IC50 of 5.5 + 10-5M.

IT **2527-66-4P 2634-33-5P**, 1,2-Benzisothiazol-3-(2H)-one **2634-34-6P 4337-60-4P**, Isothiazolo[5,4-b]pyridin-3(2H)-one **312584-12-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(isothiazoles as inhibitors for **urease** and Helicobacter pylori)

RN 2527-66-4 CAPLUS
CN 1,2-Benzisothiazol-3(2H)-one, 2-methyl- (9CI) (CA INDEX NAME)



RN 2634-33-5 CAPLUS
CN 1,2-Benzisothiazol-3(2H)-one (9CI) (CA INDEX NAME)

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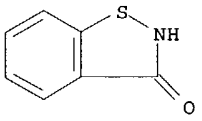
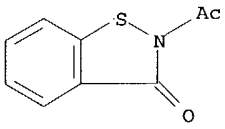
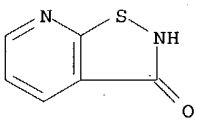


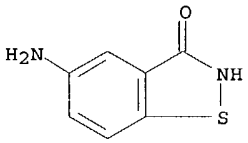
RN 2634-34-6 CAPLUS
CN 1,2-Benzisothiazol-3(2H)-one, 2-acetyl- (9CI) (CA INDEX NAME)



RN 4337-60-4 CAPLUS
CN Isothiazolo[5,4-b]pyridin-3(2H)-one (7CI, 8CI, 9CI) (CA INDEX NAME)



RN 312584-12-6 CAPLUS
CN 1,2-Benzisothiazol-3(2H)-one, 5-amino- (9CI) (CA INDEX NAME)



L5 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1992:113534 CAPLUS
DN 116:113534
TI Self-emulsifying glasses comprising oleaginous material and a water soluble matrix
IN Shively, Merrick L.
PA Research Corp. Technologies, Inc., USA
SO PCT Int. Appl., 111 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

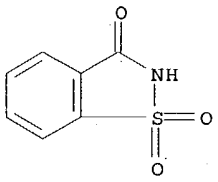
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9118613	A1	19911212	WO 1991-US3864	19910531
	W: AU, CA, JP, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
	CA 2059555	AA	19911202	CA 1991-2059555	19910531
	AU 9182106	A1	19911231	AU 1991-82106	19910531
	AU 648573	B2	19940428		
	EP 489898	A1	19920617	EP 1991-912696	19910531
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	JP 07501259	T2	19950209	JP 1991-511745	19910531
	JP 06182189	A2	19940705	JP 1992-81184	19920402
	JP 06165931	A2	19940614	JP 1992-82388	19920403
PRAI	US 1990-531847	A2	19900601		
	WO 1991-US3864	A	19910531		

AB A self-elmulsifying glass comprises a mixture of an oleaginous material and a non surface active, water-soluble matrix; the glass being .apprx.10-60% microcryst. as determined by differential scanning calorimetry is capable of forming a stable emulsion upon contact with a sufficient amount of an aqueous

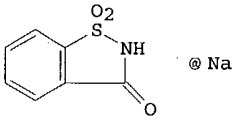
phase. The glass and emulsions produced therefrom are useful for pharmaceutical, food and cosmetic applications. Progesterone was dissolved in safflower oil, then sucrose was added to the oil before addition of water to dissolve the sucrose. The water was evaporated to obtain a solid which formed an oil in water emulsion when combined with water.

IT **81-07-2**, Saccharine
RL: BIOL (Biological study)
(glass matrix comprising, self-emulsifying)

RN 81-07-2 CAPLUS
CN 1,2-Benzisothiazol-3(2H)-one, 1,1-dioxide (9CI) (CA INDEX NAME)



L5 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1982:521797 CAPLUS
DN 97:121797
TI The inhibition of **urease** and proteases by sodium saccharin
AU Lok, Eric; Iverson, Frank; Clayson, David B.
CS Toxicol. Res. Div., Bur. Chem. Saf., Ottawa, ON, K1A 0L2, Can.
SO Cancer Letters (Shannon, Ireland) (1982), 16(2), 163-9
CODEN: CALEDQ; ISSN: 0304-3835
DT Journal
LA English
GI

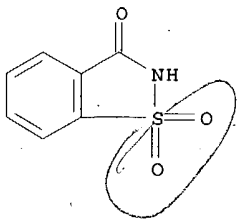


I

AB Na saccharin (I) [**128-44-9**], at concns. similar to those in the urine of rats fed 1-5% I in their diet, markedly inhibited **urease** [9002-13-5] and protease [9001-92-7] in vitro; Na ion did not appear to play a role in enzyme inhibition. These observations suggest that enzyme inhibition of any of a large number of enzymes may play a role in the tumorigenesis of the urinary bladder by I.

IT **128-44-9**
RL: BIOL (Biological study)
(enzymes inhibition by, urinary bladder neoplasia in relation to)

RN 128-44-9 CAPLUS
CN 1,2-Benzisothiazol-3(2H)-one, 1,1-dioxide, sodium salt (9CI) (CA INDEX NAME)



● Na

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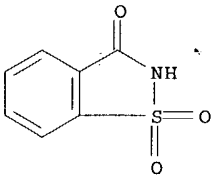
L5 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1977:561479 CAPLUS
DN 87:161479
TI Inhibition of **urease** by miscellaneous ions and compounds. Implications for the therapy of infection-induced urolithiasis
AU Burr, R. G.
CS Natl. Spinal Injuries Cent., Stoke Mandeville Hosp., Aylesbury, UK
SO Investigative Urology (1977), 15(2), 180-2
CODEN: INURAQ; ISSN: 0021-0005
DT Journal
LA English
AB One hundred forty-eight drugs and other organic and inorg. substances were screened for their ability to inhibit the enzyme **urease** [9002-13-5] in an in vitro system modeled on infected urine. The reported **urease**-inhibiting properties of ascorbic acid, tetracyclines, and sulfanilamide were not confirmed. At least 50 % inhibition was observed in the presence of kanamycin [8063-07-8], hydroxyguanidine [13115-21-4], benzoquinone [106-51-4], 1,2-naphthoquinone-4-sulfonate [2066-93-5], chloramine-T [127-65-1] N-bromoacetamide [79-15-2], Cu, Hg, and F. It is, however, unlikely that therapeutically effective concns. can be attained in urine without giving dosages likely to result in toxic effects. Hydroxyurea [127-07-1], at the dose level used in cytotoxic therapy, may be expected to produce effective inhibition of bacterial **urease** in the urinary tract, providing renal function is unimpaired and providing urinary volume does not exceed 1 L/24 h. Acetohydroxamic acid [546-88-3] is potentially the most useful drug for the treatment of infection-induced urinary stone disease available at present.
IT **81-07-2**
RL: BIOL (Biological study)
(**urease** inhibition by, urinary calculi in relation to)
RN 81-07-2 CAPLUS
CN 1,2-Benzisothiazol-3(2H)-one, 1,1-dioxide (9CI) (CA INDEX NAME)



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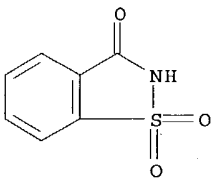
=> d 1-19 bib abs hitstr

L9 ANSWER 1 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:354679 CAPLUS
TI Method for treating wounds to promote healing
IN Gans, Arnold M.
PA Medical Nutrition USA, Inc., USA
SO U.S. Pat. Appl. Publ., 11 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004082502	A1	20040429	US 2003-689236	20031020
PRAI	US 2002-422164P	P	20021029		

AB A method is disclosed of treating a mammal to promote wound healing in the mammal in need thereof, comprising orally administering to the mammal an effective amount of a palatable, concentrated protein composition comprising an effective amount of hydrolyzed gelatin and tryptophan, and an ingestible carrier, the composition comprising the essential amino acids required by the mammal. Palatability is preferably achieved by the use of an effective amount of a sweetener. The method is particularly useful for treating wounds resulting from decubitus ulcers and bariatric surgery.
IT **81-07-2**, Saccharin
RL: FFD (Food or feed use); MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(essential amino acids for treating wounds to promote healing)
RN 81-07-2 CAPLUS
CN 1,2-Benzisothiazol-3(2H)-one, 1,1-dioxide (9CI) (CA INDEX NAME)



L9 ANSWER 2 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:87675 CAPLUS
DN 140:169631
TI Preparation of a synergistic topical gel formulation containing metronidazole and chlorhexidine
IN Bhagwanlal, Mody Shirish; Dinesh, Mody Pranabh; Mansukhlal, Doshi Madhukant
PA Lekar Pharma Limited, India
SO Indian, 25 pp.
CODEN: INXXAP
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	IN 183804	A	20000422	IN 1997-BO637	19971029
PRAI	IN 1997-BO637		19971029		

AB The preparation of a synergistic topical gel formulation is described for the treatment of periodontal diseases like gingivitis, stomatitis, Aphthous ulcers and post-extraction infection. Thus, a chelating agent 0.01-0.1, a sweetening agent and chlorhexidine gluconate 0.01-0.5% by weight were dissolved in purified water to obtain solution 1. A penetration enhancer, 2-10% by weight and a flavoring agent, menthol, were completely dissolved in water sep. to obtain solution 2. The solution 2 was mixed with solution 1 and metronidazole benzoate 0.5-3% by weight was added. The resultant mixture was treated with a polymer, 0.2-7% to form a uniform gel at 30-35°.
The gel was neutralized with sodium hydroxide to maintain the pH at 5-6.
IT **128-44-9**, Saccharin sodium
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(topical gels containing metronidazole and chlorhexidine)
RN 128-44-9 CAPLUS
CN 1,2-Benzisothiazol-3(2H)-one, 1,1-dioxide, sodium salt (9CI) (CA INDEX NAME)

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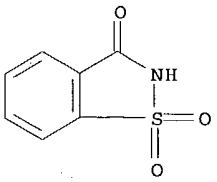

● Na

L9 ANSWER 3 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:757315 CAPLUS
DN 139:271061
TI Methods and compositions using trefoil peptides for treating oral and esophageal lesions
IN Podolsky, Daniel K.
PA USA
SO U.S. Pat. Appl. Publ., 17 pp., Cont.-in-part of U.S. Ser. No. 363,310.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 15

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003181383	A1	20030925	US 2003-434752	20030509
	US 6221840	B1	20010424	US 1996-631469	19960412
	WO 9738712	A1	19971023	WO 1997-US6004	19970411
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	US 2003166535	A1	20030904	US 2002-131063	20020424
	US 2003186880	A1	20031002	US 2003-397953	20030326
	WO 2003082196	A2	20031009	WO 2003-US9195	20030326
	WO 2003082196	A3	20031204		
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI	US 1996-631469	W	19960412		
	WO 1997-US6004	W	19970411		
	US 2001-286240P	P	20010424		
	US 2002-367574P	P	20020326		
	US 2002-131063	A2	20020424		
	US 2002-422708P	P	20021031		
	US 2003-362310	A2	20030219		
	US 1991-655965	B2	19910214		
	US 1992-837192	B2	19920213		
	US 1993-37741	B2	19930325		
	US 1994-191352	B2	19940202		

AB The invention features methods and compns. for treating or preventing lesions of the upper alimentary canal, particularly oral aphthous or mucositis lesions. Trefoil peptides are administered in effective concns. either alone or in combination with different therapeutic agents. Chewable tablet and oral rinse formulations containing intestinal trefoil factor are given.

IT **128-44-9**, Sodium saccharin
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(trefoil peptides for treating oral and esophageal lesions)
RN 128-44-9 CAPLUS

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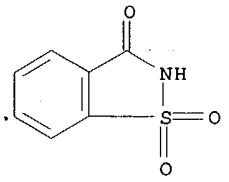
CN 1,2-Benzisothiazol-3(2H)-one, 1,1-dioxide, sodium salt (9CI) (CA INDEX NAME)



● Na

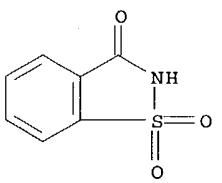
L9 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:696690 CAPLUS
DN 139:224443
TI Antacid- and locally acting anesthetic-containing formulations for the symptomatic relief of gastrointestinal disorders
IN Luzzatti, Paolo Renzo
PA USA
SO PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003072048	A2	20030904	WO 2003-US5544	20030221
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2003175360	A1	20030918	US 2002-79569	20020222
PRAI	US 2002-79569	A1	20020222		

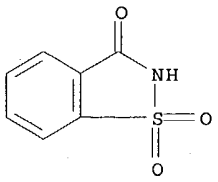
AB A formulation for treating a gastrointestinal disorder is provided. The formulation provides symptomatic relief of symptoms associated with gastrointestinal disorders. Addnl., a method for treating a gastrointestinal disorder comprising administering a therapeutically effective amount of the formulation is provided. In one embodiment of the invention, the formulation includes a locally acting anesthetic and an antacid.

IT **81-07-2**, Saccharin **128-44-9**, ,Saccharin sodium
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(taste enhancer; antacid- and locally acting anesthetic-containing formulation for symptomatic relief of gastrointestinal disorder)

RN 81-07-2 CAPLUS
CN 1,2-Benzisothiazol-3(2H)-one, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 128-44-9 CAPLUS
CN 1,2-Benzisothiazol-3(2H)-one, 1,1-dioxide, sodium salt (9CI) (CA INDEX NAME)

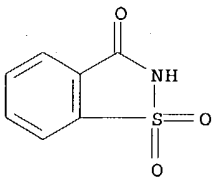


● Na

L9 ANSWER 5 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:928236 CAPLUS
DN 138:315
TI Compositions and methods using hyaluronic acid and polyvinylpyrrolidone for the treatment or prevention of inflammation
IN Mastrodonato, Marco; Braguti, Gianluca
PA Pennie & Edmonds Llp, Italy
SO U.S. Pat. Appl. Publ., 9 pp., Cont.-in-part of U.S. Ser. No. 80,624.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002183278	A1	20021205	US 2002-80736	20020222
	IT 2000MI1732	A1	20020128	IT 2000-MI1732	20000728
	IT 1318649	B1	20030827		
	US 2002173485	A1	20021121	US 2002-80624	20020221
PRAI	IT 2000-MI1732	A	20000728		
	US 2002-80624	A2	20020221		

AB The present invention relates to compds. containing as active ingredients hyaluronic acid and polyvinylpyrrolidone, for the treatment of inflammatory, ulcerative and painful conditions of moist epithelial surfaces such as mucositis, stomatitis, vestibulitis, aphthous ulcerations, and Behcet's syndrome.
IT **128-44-9**, Sodium saccharin
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(hyaluronic acid and polyvinylpyrrolidone for treatment or prevention of inflammation)
RN 128-44-9 CAPLUS
CN 1,2-Benzisothiazol-3(2H)-one, 1,1-dioxide, sodium salt (9CI) (CA INDEX NAME)



● Na

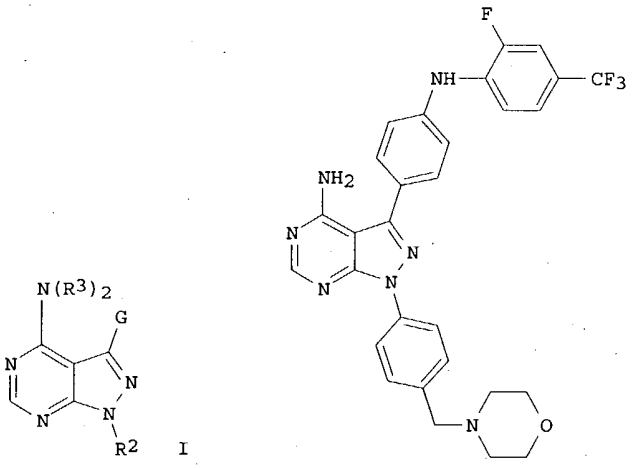
L9 ANSWER 6 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:814851 CAPLUS
DN 137:310930
TI Preparation of 3-(azahetero)aryl-1H-pyrazolo[3,4-d]pyrimidin-3-amines as protein kinase inhibitors with antiangiogenic properties
IN Hirst, Gavin C.; Rafferty, Paul; Ritter, Kurt; Calderwood, David; Wishart, Neil; Arnold, Lee D.; Friedman, Michael M.
PA Abbott Laboratories, USA
SO U.S. Pat. Appl. Publ., 426 pp., Cont.-in-part of U.S. Ser. No. 663,780.
CODEN: USXXCO
DT Patent

10669700

LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002156081	A1	20021024	US 2001-815310	20010322
	US 6660744	B1	20031209	US 2000-663780	20000915
	WO 2002080926	A1	20021017	WO 2002-US9104	20020322
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1385524	A1	20040204	EP 2002-746301	20020322
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	NO 2003004176	A	20031121	NO 2003-4176	20030919
PRAI	US 1999-154620P	P	19990917		
	US 2000-663780	A2	20000915		
	US 2001-815310	A	20010322		
	WO 2002-US9104	W	20020322		

OS MARPAT 137:310930
GI

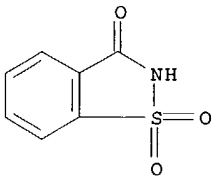


I II

AB Title compds. I [wherein G = (un)substituted 5-6 membered (azahetero)aryl; R2 = H or (un)substituted trityl, cycloalkenyl, azaheteroaryl, or C6H4-4-CH2E; E = (un)substituted alkyl-OR, alkyl-CO2R, alkylheteroaryl, alkylheterocycloalkyl, or alkyl-NR2; R = independently H or (un)substituted (cyclo)alkyl, or aryl(alkyl); R3 = independently H, OH, or (un)substituted alkyl, alkyl-CO, (hetero)aryl-CO, or alkoxy; or racemic diastereomeric mixts., optical isomers, pharmaceutically acceptable salts, prodrugs, and/or biol. active metabolites thereof] were prepared For example, 3-iodo-1H-pyrazolo[3,4-d]pyrimidin-4-amine was coupled with 4-fluorobenzaldehyde in the presence of NaH in DMF to give 4-(4-amino-3-iodo-1H-pyrazolo[3,4-d]pyrimidin-1-yl)benzaldehyde. Treatment of the 3-iodopyrazolopyrimidine with N-[2-methoxy-4-(4,4,5,5,-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]-2-fluoro-4-(trifluoromethyl)benzamide, Pd(PPh3)4, and Na2CO3 in H2O afforded the N-[4-(pyrazolopyrimidin-3-yl)phenyl]benzamide. Addition of morpholine to the benzaldehyde in the presence of Na(AcO)3BH in dichloroethane produced II. All exemplified compds. significantly inhibited either FGFR, PDGFR, KDR, Tie-2, Lck, Fyn, Blk, Lyn, or Src at concentration of ≤ 50 μM. Certain compds. of the invention also significantly inhibited cdc2 or cellular VEGF-induced KDR tyrosine kinase phosphorylation at concns. of ≤ 50 μM. Thus, I are useful for the treatment of a wide variety of disease states ameliorated by the inhibition of protein tyrosine kinase activity essential for angiogenic processes (no data).

10669700

IT **81-07-2**, Saccharin
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of [(hetero)aryl]pyrazolo[3,4-d]pyrimidinamines as protein kinase inhibitors with antiangiogenic properties)
RN 81-07-2 CAPLUS
CN 1,2-Benzisothiazol-3(2H)-one, 1,1-dioxide (9CI) (CA INDEX NAME)

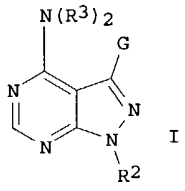


L9 ANSWER 7 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:793426 CAPLUS
DN 137:310925
TI Preparation of 3-(azahetero)aryl-1H-pyrazolo[3,4-d]pyrimidin-3-amines as protein kinase inhibitors with antiangiogenic properties
IN Hirst, Gavin C.; Rafferty, Paul; Ritter, Kurt; Calderwood, David; Wishart, Neil; Arnold, Lee D.; Friedman, Michael M.
PA Abbott G.m.b.H. & Co. K.-G., Germany
SO PCT Int. Appl., 867 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002080926	A1	20021017	WO 2002-US9104	20020322
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2002156081	A1	20021024	US 2001-815310	20010322
	EP 1385524	A1	20040204	EP 2002-746301	20020322
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	NO 2003004176	A	20031121	NO 2003-4176	20030919
PRAI	US 2001-815310	A	20010322		
	US 1999-154620P	P	19990917		
	US 2000-663780	A2	20000915		
	WO 2002-US9104	W	20020322		

OS MARPAT 137:310925
GI

10669700



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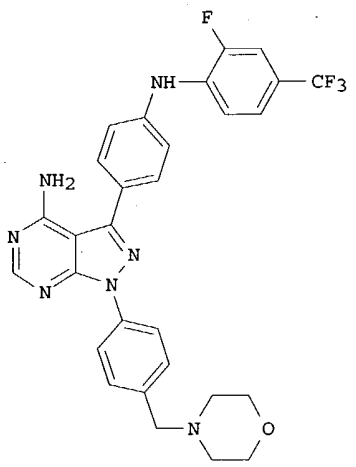


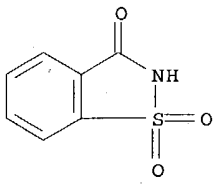
II

AB Title compds. I [wherein G = (un)substituted 5-6 membered (azahetero)aryl; R2 = H or (un)substituted trityl, cycloalkenyl, azaheteroaryl, or C6H4-4-CH2E; E = (un)substituted alkyl-OR, alkyl-CO2R, alkylheteroaryl, alkylheterocycloalkyl, or alkyl-NR2; R = independently H or (un)substituted (cyclo)alkyl, or aryl(alkyl); R3 = independently H, OH, or (un)substituted alkyl, alkyl-CO, (hetero)aryl-CO, or alkoxy; or racemic diastereomeric mixts., optical isomers, pharmaceutically acceptable salts, prodrugs, and/or biol. active metabolites thereof] were prepared For example, 3-iodo-1H-pyrazolo[3,4-d]pyrimidin-4-amine was coupled with 4-fluorobenzaldehyde in the presence of NaH in DMF to give 4-(4-amino-3-iodo-1H-pyrazolo[3,4-d]pyrimidin-1-yl)benzaldehyde. Treatment of the 3-iodopyrazolopyrimidine with N-[2-methoxy-4-(4,4,5,5,-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]-2-fluoro-4-(trifluoromethyl)benzamide, Pd(PPh3)4, and Na2CO3 in H2O afforded the N-[4-(pyrazolopyrimidin-3-yl)phenyl]benzamide. Addition of morpholine to the benzaldehyde in the presence of Na(AcO)3BH in dichloroethane produced II. All exemplified compds. significantly inhibited either FGFR, PDGFR, KDR, Tie-2, Lck, Fyn, Blk, Lyn, or Src at concentration of ≤ 50 μM. Certain compds. of the invention also significantly inhibited cdc2 or cellular VEGF-induced KDR tyrosine kinase phosphorylation at concns. of ≤ 50 μM. Thus, I are useful for the treatment of a wide variety of disease states ameliorated by the inhibition of protein tyrosine kinase activity essential for angiogenic processes (no data).

IT **81-07-2**, Saccharin
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of [(hetero)aryl]pyrazolo[3,4-d]pyrimidinamines as protein kinase inhibitors with antiangiogenic properties)

RN 81-07-2 CAPLUS

CN 1,2-Benzisothiazol-3(2H)-one, 1,1-dioxide (9CI) (CA INDEX NAME)



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

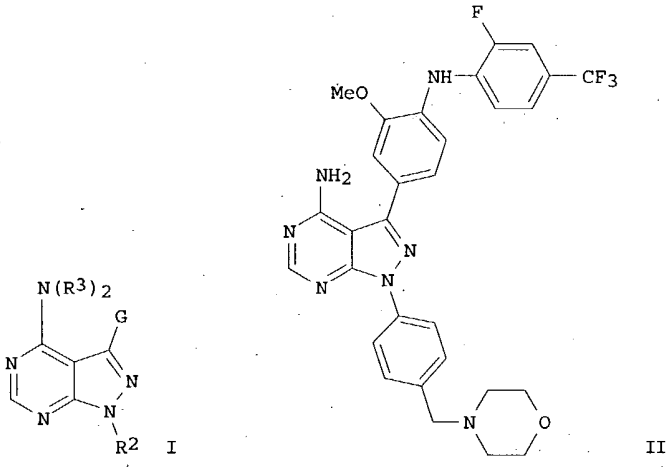
L9 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:754390 CAPLUS
DN 137:263056
TI Preparation of 3-(azahetero)aryl-1H-pyrazolo[3,4-d]pyrimidin-3-amines as protein kinase inhibitors with antiangiogenic properties
IN Hirst, Gavin C.; Rafferty, Paul; Ritter, Kurt; Calderwood, David; Wishart, Neil; Arnold, Lee D.; Friedman, Michael M.
PA Abbott G.m.b.H & Co. KG, Germany
SO PCT Int. Appl., 440 pp.

10669700

CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002076986	A1	20021003	WO 2002-US8996	20020322
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1379528	A1	20040114	EP 2002-728546	20020322
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	US 2004006083	A1	20040108	US 2002-104140	20020719
	NO 2003004177	A	20031121	NO 2003-4177	20030919
PRAI	US 2001-278047P	P	20010322		
	WO 2002-US8996	W	20020322		

OS MARPAT 137:263056
GI



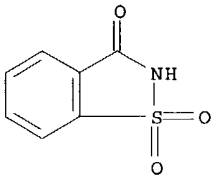
I II

AB Title compds. I [wherein G = (un)substituted 5-6 membered (azahetero)aryl; R2 = H or (un)substituted trityl, cycloalkenyl, azaheteroaryl, or C6H4-4-CH2E; E = (un)substituted alkyl-OR, alkyl-CO2R, alkylheteroaryl, alkylheterocycloalkyl, or alkyl-NR2; R = independently H or (un)substituted (cyclo)alkyl, or aryl(alkyl); R3 = independently H, OH, or (un)substituted alkyl, alkyl-CO, (hetero)aryl-CO, or alkoxy; or racemic diastereomeric mixts., optical isomers, pharmaceutically acceptable salts, prodrugs, and/or biol. active metabolites thereof] were prepared For example, 3-iodo-1H-pyrazolo[3,4-d]pyrimidin-4-amine was coupled with 4-fluorobenzaldehyde in the presence of NaH in DMF to give 4-(4-amino-3-iodo-1H-pyrazolo[3,4-d]pyrimidin-1-yl)benzaldehyde. Treatment of the 3-iodopyrazolopyrimidine with N-[2-methoxy-4-(4,4,5,5,-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]-2-fluoro-4-(trifluoromethyl)benzamide, Pd(PPh3)4, and Na2CO3 in H2O afforded the N-[4-(pyrazolopyrimidin-3-yl)phenyl]benzamide. Addition of morpholine to the benzaldehyde in the presence of Na(AcO)3BH in dichloroethane produced II. All exemplified compds. significantly inhibited either FGFR, PDGFR, KDR, Tie-2, Lck, Fyn, Blk, Lyn, or Src at concentration of ≤ 50 μM. Certain compds. of the invention also significantly inhibited cdc2 or cellular VEGF-induced KDR tyrosine kinase phosphorylation at concns. of ≤ 50 μM. Thus, I are useful for the treatment of a wide variety of disease states ameliorated by the inhibition of protein tyrosine kinase activity essential for angiogenic processes (no data).

IT **81-07-2**, Saccharin

10669700

RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; preparation of (azahetero)aryl-1H-pyrazolo[3,4-d]pyrimidin-3-amines as protein kinase inhibitors with antiangiogenic properties)
RN 81-07-2 CAPLUS
CN 1,2-Benzisothiazol-3(2H)-one, 1,1-dioxide (9CI) (CA INDEX NAME)

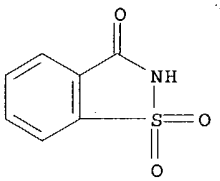


RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 9 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:575762 CAPLUS
DN 137:129916
TI Stable viscous liquid formulations of amlexanox for the prevention and treatment of mucosal diseases and disorders
IN Jacob, Jeremy
PA USA
SO U.S. Pat. Appl. Publ., 22 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

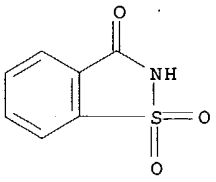
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2002103219	A1	20020801	US 2001-971562	20011004
PRAI US 2000-238175P	P	20001005		

AB Present invention concerns stable viscous liquid formulations of amlexanox for the prevention and treatment of mucosal diseases and disorders. The mucoadhesive of the present invention may be a linear or crosslinked polymer such as polyacrylic acid, hydroxyalkyl cellulose, dextran sulfate, and etc. An object of the present invention is to provide a convenient and effective dosage form for Amlexanox in the treatment of skin mucous disorders. This form allows for an ED of the pharmaceutical to be applied to the lesions being treated over an extended period. Thus, a viscous, mucoadhesive aqueous composition contained water 91.26, KOH 0.60, benzyl alc. 1.50, Polysorbate-60 0.05, Carbopol 971P 0.35, H3PO4 0.13, citric acid 0.05, saccharin sodium 0.40, amlexanox 0.50, and glycerin 5.20% by weight
IT **81-07-2**, Saccharin **128-44-9**, Saccharin sodium
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(stable viscous liquid formulations of amlexanox for prevention and treatment of mucosal disorders)
RN 81-07-2 CAPLUS
CN 1,2-Benzisothiazol-3(2H)-one, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 128-44-9 CAPLUS
CN 1,2-Benzisothiazol-3(2H)-one, 1,1-dioxide, sodium salt (9CI) (CA INDEX NAME)

10669700

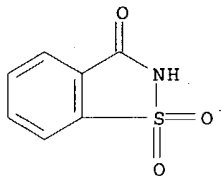


● Na

L9 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:249963 CAPLUS
DN 136:284438
TI Pharmaceutical dental formulation for topical application of metronidazole benzoate, chlorhexidine gluconate and local anesthetic
IN Doshi, Madhukant Mansukhlal; Joshi, Milind Dattatraya; Mehta, Bharat Pravinchandra
PA J. B. Chemicals & Pharmaceuticals Ltd., India
SO U.S., 5 pp., Cont.-in-part of U.S. 6,017,516.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6365131	B1	20020402	US 2000-480365	20000110
	US 6017516	A	20000125	US 1997-962099	19971031
PRAI	US 1997-962099	A2	19971031		

AB Pharmaceutical dental gel preparation comprises metronidazole benzoate 0.5-3.0%, , chlorhexidine gluconate 0.2-2.0%, and local anesthetic, i.e., lidocaine hydrochloride or benzocaine 0.5%, as the active ingredient; glycol as the solvent medium; a carboxyvinyl polymer, and crosslinked polymer of acrylic acid copolymd. with polyalkyl sucrose as a gelling agent. The efficacy of the dental gel formulation was confirmed in clin. trials in patients with chronic gingivitis, acute ulcerative gingivitis, chronic periodontitis, in prevention of post extraction infections (dry socket), in recurrent aphthous stomatitis (**ulcer**), and dental pain due to infections.
IT **128-44-9**, Saccharin sodium
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(dental gel for topical application of metronidazole benzoate, chlorhexidine gluconate and local anesthetic)
RN 128-44-9 CAPLUS
CN 1,2-Benzisothiazol-3(2H)-one, 1,1-dioxide, sodium salt (9CI) (CA INDEX NAME)



● Na

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:107048 CAPLUS
DN 136:156435
TI Pharmaceutical compositions for the treatment of inflammatory and ulcerative conditions of moist epithelial surfaces such as mucositis, stomatitis and Behcet's syndrome
IN Mastrodonato, Marco

10669700

PA Sinclair Pharma S.r.l., Italy
SO PCT Int. Appl., 9 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002009637	A2	20020207	WO 2001-EP8303	20010718
	WO 2002009637	A3	20021205		
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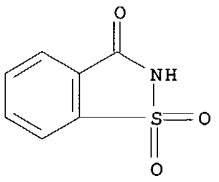
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	IT 2000MI1732	A1	20020128	IT 2000-MI1732	20000728
	IT 1318649	B1	20030827		
	AU 2002012113	A5	20020213	AU 2002-12113	20010718
	EP 1313489	A2	20030528	EP 2001-980213	20010718
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	BR 2001012962	A	20030624	BR 2001-12962	20010718
	NZ 523832	A	20030926	NZ 2001-523832	20010718
	JP 2004505028	T2	20040219	JP 2002-515192	20010718
	NO 2003000411	A	20030127	NO 2003-411	20030127
PRAI	IT 2000-MI1732	A	20000728		
	WO 2001-EP8303	W	20010718		

AB Pharmaceutical compns. comprising as active ingredients EDs of hyaluronic acid, glycyrrhetinic acid and polyvinylpyrrolidone, for the treatment of painful, inflammatory and ulcerative conditions of moist epithelial surfaces such as mucositis and Behcet's syndrome. Thus, a formulation contained sodium hyaluronate 0.1, glycyrrhetinic acid 0.06, PVP 9.0, maltodextrin 6.00, propylene glycol 2.94, potassium sorbate 0.3, sodium benzoate 0.3, hydroxyethyl cellulose 1.5, hydrogenated castor oil PEG-40 0.27, disodium EDTA 0.1, benzalkonium chloride 0.5, perfume (Glycyrrhiza extract) 0.16, sodium saccharin 0.1, and water 78.44%.

IT **128-44-9**, Sodium saccharin
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceuticals for treatment of inflammatory and ulcerative conditions of moist epithelial surfaces and stomatitis and Behcet's syndrome)

RN 128-44-9 CAPLUS
CN 1,2-Benzisothiazol-3(2H)-one, 1,1-dioxide, sodium salt (9CI) (CA INDEX NAME)



● Na

L9 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:65045 CAPLUS
DN 134:90931
TI Chewing gum for oral hygiene containing magnesium trisilicate
IN Hodges, Gerwyn Tudor
PA UK
SO Brit. UK Pat. Appl., 6 pp.
CODEN: BAXXDU
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

10669700

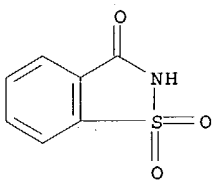
PI	GB 2348370	A1	20001004	GB 1999-7207	19990330
PRAI	GB 1999-7207		19990330		

AB A sugar-free chewing gum impregnated with an effective concentration of magnesium trisilicate which neutralizes plaque and food acids, and acts as a tooth polish during the chewing action is described. A number of further components may be added to the sugar-free chewing gum. For example, the addition of calcium pyrophosphate provides an effective agent against plaque and tartar; the addition of an antibacterial artificial sweetener, such as xylitol, improves the anti-plaque action and eliminates the sugar substrate that plaque bacteria feed on. Extra artificial sweeteners like sorbitol, improve flavor. Other additives may include cetylpyridinium chloride, which is an antibacterial and mouth ulcer treatment, breath fresheners and different flavors to enhance the appeal of the product. Each stick of chewing gum may be hygienically wrapped in its own foil and paper wrapping and these may in turn be sold in plastic wrapped multi packs.

IT **128-44-9**, Sodium saccharin
RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(sugar-free chewing gum containing magnesium trisilicate for oral hygiene)

RN 128-44-9 CAPLUS

CN 1,2-Benzisothiazol-3(2H)-one, 1,1-dioxide, sodium salt (9CI) (CA INDEX NAME)



● Na

L9 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2000:65296 CAPLUS

DN 132:98159

TI Pharmaceutical dental formulation for topical application of metronidazole benzoate and chlorhexidine gluconate

IN Mody, Shri Shirish Bhagwanlal; Mody, Pranabh Dinesh; Doshi, Madhukant Mansukhlal

PA Lekar Pharma Ltd., India

SO U.S., 6 pp.
CODEN: USXXAM

DT Patent

LA English

FAN.CNT 2

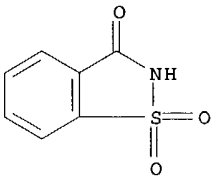
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6017516	A	20000125	US 1997-962099	19971031
	US 6365131	B1	20020402	US 2000-480365	20000110
	IN 186578	A	20011006	IN 2000-MU35	20000111
PRAI	US 1997-962099	A2	19971031		

AB A pharmaceutical dental formulation of therapeutically effective amts. of metronidazole benzoate and chlorhexidine gluconate is described. The formulation also includes a gelled hydrophilic and water-dispersible polymer having free carboxylic groups, an aqueous base, a penetration enhancer and a chelating agent. The formulation is for topical application in the form of an aqueous gel in the treatment of periodontal diseases including gingivitis, stomatitis, aphthous ulcers and post-extraction infection. To 920 mL purified water, 0.25 g disodium edetate, 1 g Na saccharin and 2.5 g chlorhexidine gluconate solution were added and dissolved. Menthol 5 g was sep. dissolved in 50 g propylene glycol and this solution was added to the solution prepared above. Metronidazole benzoate 16 g and Carbomer 940 15 g were then added to the mixture with continuous stirring to form a smooth uniform viscous gel. The pH of gel was then adjusted to 5-6 with 10 % NaOH solution and the final weight of the gel was adjusted to one kg by addition of distilled water and mixed well.

IT **128-44-9**, Saccharin sodium
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)
(dental formulations for topical application containing metronidazole benzoate and chlorhexidine gluconate for treatment of periodontal diseases)

RN 128-44-9 CAPLUS
CN 1,2-Benzisothiazol-3(2H)-one, 1,1-dioxide, sodium salt (9CI) (CA INDEX NAME)



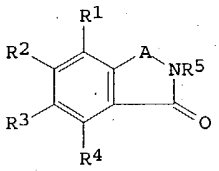
● Na

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

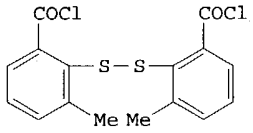
L9 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1992:469857 CAPLUS
DN 117:69857
TI Preparation of benzoisothiazolone derivatives as antiulcer agents
IN Hirai, Koichi; Iwano, Yuji; Tabata, Keiichi; Makino, Mitsuko
PA Sankyo K. K., Japan
SO Jpn. Kokai Tokkyo Koho, 18 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 04077476	A2	19920311	JP 1990-191573	19900719
PRAI JP 1990-191573		19900719		

OS MARPAT 117:69857
GI



I



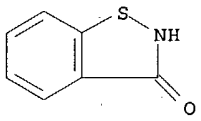
II

AB The title compds. [I; R1-R4 = H, alkyl, aralkyl, (un)substituted aralkyl, alkoxy, halo; A = S, SO, SO2; R5 = H, alkyl, (un)substituted alkyl, alkenyl, aryl, or heterocyclyl, cycloalkyl] are prepared as potent H+,K+-ATPase inhibitors. Thus, 300 mg acid chloride (II)(preparation given) was dissolved in CH2Cl2, thereto a solution of 1.5 equiv Cl(g) in CH2Cl2 was added under ice-cooling, and the mixture was stirred for .apprx.20 min. After evaporating the solvent, a solution of 0.3 mL 2,6-diisopropylaniline in CH2Cl2 was added dropwise under ice-cooling and the mixture was stirred for 1 h to give 350 mg I (R1 = Me, R2-R4 = H, R5 = 2,6-diisopropylphenyl). I in vitro were 100 times more potent than omeprazole in inhibiting H+,K+-ATPase.

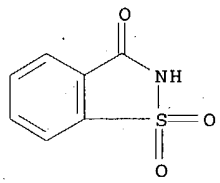
IT **2634-33-5P**, 1,2-Benzisothiazol-3(2H)-one
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antiulcer agent)

RN 2634-33-5 CAPLUS
CN 1,2-Benzisothiazol-3(2H)-one (9CI) (CA INDEX NAME)

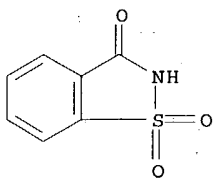
10669700



L9 ANSWER 15 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1987:483084 CAPLUS
DN 107:83084
TI Survey of chromium levels and exposure in several workplaces handling chromium compounds
AU Horiguchi, Shunichi; Endo, Ginji; Shinagawa, Kozo; Kiyota, Ikuko; Teramoto, Keiko; Karai, Ichiro; Nakaseko, Hiroyuki; Kageyama, Mitsuru; Tojo, Fumio; et al.
CS Med. Sch., Osaka City Univ., Osaka, 545, Japan
SO Sumitomo Sangyo Eisei (1986), 22, 70-6
CODEN: SSEIBV; ISSN: 0081-928X
DT Journal
LA Japanese
AB Factories for button dyeing, photogravure printing film neg. manufacture, saccharin (I) and Na saccharin (II) manufacture, and chrome plating were surveyed from the standpoint of occupational health in relation to chromium exposure. A worker in the button-dyeing factory had 0.7 μg Cr/L urine but showed no abnormal findings due to Cr exposure. The air of the factory manufacturing photogravure printing film negs. contained 0.00035-0.00099 mg Cr/m3; the workers, with 1.0-2.3 μg Cr/L of urine, showed no abnormal findings due to chromium exposure. The air of the factory manufacturing I and II contained 0.002-0.01 mg Cr/m3 (geometric mean 0.003 mg/m3) where the oxidation process was done and <0.002 mg/m3 in the concentration and separation workplaces. Five of 9 workers having 3.0-9.4 μg Cr/L of urine chromium displayed some effects of Cr exposure. Two workers had edema of the nasal mucous membrane, one displayed redness of the throat, and one had a chrome **ulcer** scar. In the chrome-plating factory, the workers had 0.5 to 5.3 μg Cr/L of urine (mean 1.5 μg/L) and showed some symptoms. More than 20% of the workers had symptoms related to chromium exposure.
IT **81-07-2P 128-44-9P**, Sodium saccharin
RL: ADV (Adverse effect, including toxicity); IMF (Industrial manufacture); BIOL (Biological study); PREP (Preparation)
(manufacture of, occupational exposure to chromium in, health hazards of, in Japan)
RN 81-07-2 CAPLUS
CN 1,2-Benzisothiazol-3(2H)-one, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 128-44-9 CAPLUS
CN 1,2-Benzisothiazol-3(2H)-one, 1,1-dioxide, sodium salt (9CI) (CA INDEX NAME)

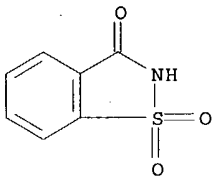


● Na

L9 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1986:533763 CAPLUS
DN 105:133763
TI N-Alkylated benzo- and hetero-fused aminopropoxybenzylpiperidine antisecretory agents
IN Schiehser, Guy A.; Nielsen, Susan T.; Strike, Donald P.
PA American Home Products Corp., USA
SO U.S., 8 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4595757	A	19860617	US 1984-681169	19841213
PRAI	US 1984-681169		19841213		

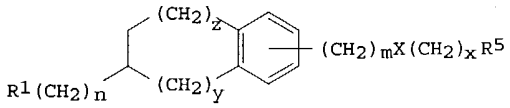
OS CASREACT 105:133763
GI For diagram(s), see printed CA Issue.
AB The title compds. (I; R1 = Q, Q1; R2 = Ph, 1,3-benzodioxol-5-yl; X = SO2, SO, S, CO; Z = atoms needed to complete substituted benzo- or thieno-fused ring) were prepared as antiulcer agents. Thus, 3-[3-(1-piperidinylmethyl)phenoxy]propylamine was iminated with PhCHO and hydrogenated to give I (R1 = H, R2 = Ph). This was condensed with 3-(methylthio)thieno[3,4-d]isothiazole 1,1-dioxide to give I (R1 = Q2, R2 = Ph) (II). In rats, II inhibited gastric secretion and ulcerogenesis with ED50 of 8 and 6 mg/kg, resp., compared to 6 and 12 mg/kg for omeprazole.
IT **81-07-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(chlorination of)
RN 81-07-2 CAPLUS
CN 1,2-Benzisothiazol-3(2H)-one, 1,1-dioxide (9CI) (CA INDEX NAME)



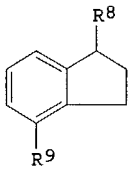
L9 ANSWER 17 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1986:109279 CAPLUS
DN 104:109279
TI Indanyl and tetrahydronaphthyl aminoalkyl ethers and thioethers, and their pharmaceutical uses
IN Kuhla, Donald Ernest; Campbell, Henry Flud; Studt, William Lyon
PA Rorer International (Overseas), Inc., USA
SO S. African, 135 pp.
CODEN: SFXXAB
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	ZA 8403930	A	19850327	ZA 1984-3930	19840524
PRAI	ZA 1984-3930		19840524		

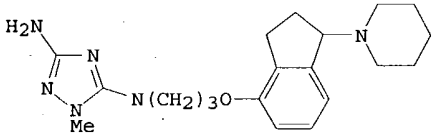
GI



I



II



III

AB The title compds. [I; R1 = NR2R3, C(:NR4)NR2R3; R2-R4 = H, alkyl; NR2R3 = heterocyclyl; R2R4 = CH2CH2, CH2CH2CH2; R5 = NHR6, cyano, C(NH2):NSO2NH2; R6 = H, C(NHR7):NCN, C(NHR7):CHNO2, C(SR7):NCN, N-heterocyclyl, aminodioxocyclobutenyl; R7 = H, alkyl; X = O, S, S(O), S(O)2; n = 0-2, m = 0, 1; x = 2-4; y = 0, 1; z = 1-y, 2-y, 3-y] were prepared for use in the treatment of gastrointestinal disorders, e.g. as antisecretory agents (no data). Thus, 4-hydroxy-1-indanone was O-methylated to give 4-methoxy-1-indanone, which was reduced by NaBH4 to give the alc. II (R8 = OH, R9 = OMe). Treatment of the alc. with anhydrous HCl gave II (R8 = Cl, R9 = OMe), which reacted with piperidine to give II (R8 = piperidino, R9 = OMe). Cleavage of the methoxy group with HBr, followed by treatment with KOH-Br(CH2)3Br, gave II [R8 = piperidino, R9 = O(CH2)3Br], which was treated with NaN3 and then LiAlH4 to give amine II [R8 = piperidino, R9 = O(CH2)3NH2]. Condensation of the amine with PhCH:NNMeC(SMe):NCN, followed by treatment of the reaction mixture with aqueous HCl-Me2CO, gave triazole III, which was the most preferred antisecretory and antiulcer compound of I. (S)-(+)-I possess greater histamine H2-receptor antagonist activity than (R)-(-)-I.

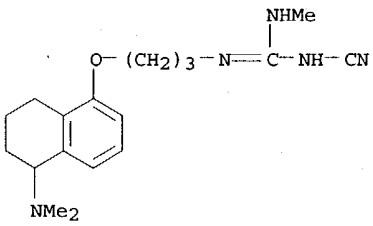
IT **100593-20-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antisecretory and antiulcer agent)

RN 100593-20-2 CAPLUS

CN Guanidine, N-cyano-N'-[3-[[5-(dimethylamino)-5,6,7,8-tetrahydro-1-naphthalenyl]oxy]propyl]-N''-methyl-, compd. with 1,2-benzisothiazol-3(2H)-one 1,1-dioxide (1:1) (9CI) (CA INDEX NAME)

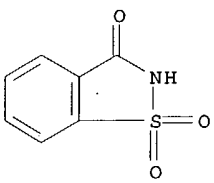
CM 1

CRN 96020-60-9
CMF C18 H27 N5 O



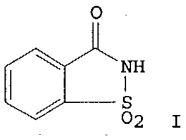
CM 2

CRN 81-07-2
CMF C7 H5 N O3 S



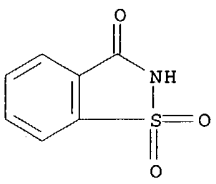
10669700

L9 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1985:180599 CAPLUS
DN 102:180599
TI Initiation of urinary bladder carcinogenesis in rats by freeze ulceration with sodium saccharin promotion
AU Hasegawa, Ryohei; Greenfield, Robert E.; Murasaki, Geni; Suzuki, Toru; Cohen, Samuel M.
CS Med. Cent., Univ. Nebraska, Omaha, NE, 68105, USA
SO Cancer Research (1985), 45(4), 1469-73
CODEN: CNREA8; ISSN: 0008-5472
DT Journal
LA English
GI



I

AB Five-wk-old F344 male rats were given saccharin (I) [**81-07-2**] as 5% of the diet beginning either immediately (group 1) or 2, 4, 6, or 18 wk (groups 2, 3, 4, or 5, resp.) after freezing of the bladder, and sacrificed 2 yr after the start of the experiment The incidences of rats with transitional cell carcinoma of the bladder were 11 of 36 rats (31%) in group 1, 6 of 36 (17%) in group 2, 12 of 40 (30%) in group 3, 7 of 36 (19%) in group 4, and 9 of 39 (23) in group 5. I without prior ulceration induced a transitional cell papilloma in 1 rat, and freeze ulceration without subsequent I induced a transitional cell carcinoma in 1 rat. No bladder lesions were seen in the untreated control rats. Scanning electron microscopic examination of rats fed I after ulceration showed evidence of multifocal hyperplasia and significant surface changes either at wk 18 of the experiment (groups 1-3) or 18 wk after beginning I administration (groups 4 and 5). These results indicate that freeze ulceration of the bladder induced irreversible changes in the epithelial cells related to bladder cancer initiation even though the regenerative hyperplasia is morphol. reversible, and that I promotes the tumorigenic expression of those freeze ulceration-induced cellular changes even after healing from the injury.
IT **81-07-2**
RL: BIOL (Biological study)
(neoplasm from, of urinary bladder, freezing-induced ulceration in relation to)
RN 81-07-2 CAPLUS
CN 1,2-Benzisothiazol-3(2H)-one, 1,1-dioxide (9CI) (CA INDEX NAME)



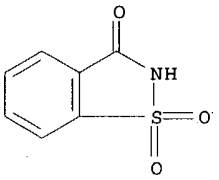
L9 ANSWER 19 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1983:70499 CAPLUS
DN 98:70499
TI Effect of sodium saccharin on urinary bladder epithelial regenerative hyperplasia following freeze ulceration
AU Murasaki, Geni; Cohen, Samuel M.
CS Med. Cent., Univ. Nebraska, Omaha, NE, 68105, USA
SO Cancer Research (1983), 43(1), 182-7
CODEN: CNREA8; ISSN: 0008-5472
DT Journal
LA English
AB Sequential observations of the light and scanning electron microscopic

appearances and labeling index of rat urinary bladder epithelium following freeze ulceration were performed for 8 wk, and the effect of Na saccharin [**128-44-9**] on this process when fed as 5% of the diet, beginning either immediately or 2 wk after ulceration, was investigated. All rats treated with freeze ulceration of the bladder developed marked nodular and papillary hyperplasia around the **ulcer** by the 4th day. Under SEM, there were uniform and pleomorphic microvilli on the hyperplastic cell surfaces for the 1st 14 days after the **ulcer.** The labeling index of the bladder epithelium ([3H]thymidine injected 1 h prior to sacrifice) was 10-20% after 1 day, and it rapidly diminished to 0.5-1.0% by the 7th day. When rats treated with freeze ulceration were fed control diet, the incidence of the light and scanning electron microscopic lesions rapidly diminished after the 14th day, and they were present at very low incidence after 56 days. The labeling index also decreased to the normal level (0.02-0.06%) by the 21st day. In contrast, rats fed Na saccharin, either immediately after ulceration or beginning after 2 wk of control diet following ulceration, developed nodular and papillary hyperplasia and luminal surface abnormalities detectable by SEM, and the incidences of these abnormalities remained high for the entire 8 wk of this experiment The labeling index in these groups also remained elevated. The rats fed control diet without ulceration had normal bladders. However, rats fed Na saccharin developed mild simple hyperplasia and an increased labeling index. Another experiment evaluated the effect of delaying the beginning of Na saccharin administration until 8 wk after ulceration. Surprisingly, the development of nodular and papillary lesions detected by light microscopy, surface abnormalities detected by SEM, and increased labeling index determined by autoradiog. were similar to results after Na saccharin administered immediately or beginning 2 wk after ulceration. Na sodium saccharin prolongs the regenerative hyperplastic changes following ulceration and maintains an increased proliferative rate in the epithelium. These changes appear to contribute to the eventual induction of bladder neoplasms in rats fed Na saccharin following ulceration.

IT **128-44-9**
RL: BIOL (Biological study)
(bladder epithelial hyperplasia respónse to, after freeze ulceration)

RN 128-44-9 CAPLUS

CN 1,2-Benzisothiazol-3(2H)-one, 1,1-dioxide, sodium salt (9CI) (CA INDEX NAME)



● Na